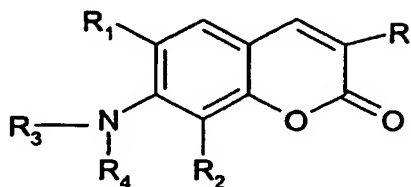


CLAIMS

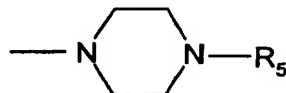
1. A compound of formula I



I

wherein

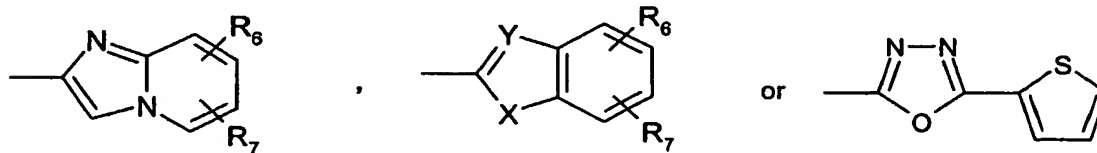
either R_1 and R_2 are both hydrogen and either R_3 and R_4 , independently, are H, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, n being 2, 3 or 4, or R_3 and R_4 , together with the nitrogen atom to which they are attached, form a group of formula



wherein R_5 is H, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, n being as defined above,

or one of R_1 and R_2 is hydrogen and the other, together with R_3 , forms a $-(\text{CH}_2)_m$ -bridge, m being 2 or 3, and R_4 is H, CH_3 , $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, and

R is a group of formula

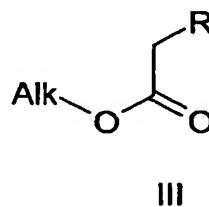
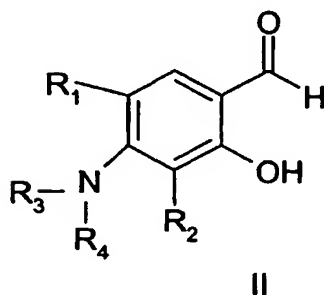


wherein X is O, S or NR_8 , R_8 being H, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$ (n being as defined above), Y is CH or N and R_6 and R_7 , independently, are H, NO_2 , F, ^{18}F , $\text{O}(\text{CH}_2)_n\text{F}$, $\text{O}(\text{CH}_2)_n^{18}\text{F}$, Cl, CN, ^{11}CN , OCH_3 , O^{11}CH_3 , I, ^{123}I , $\text{O}(\text{CH}_2)_n\text{I}$ or $\text{O}(\text{CH}_2)_n^{123}\text{I}$ (n being as defined above),

in free base or acid addition salt form, for use as a marker.

2. A process for the production of a compound of formula I as defined in claim 1, or a salt thereof, comprising the step of

- a) for the production of a compound of formula I wherein R_3 , R_4 , R_5 , R_6 , R_7 and R_8 are different from $^{11}\text{CH}_3$, $(\text{CH}_2)_n^{18}\text{F}$, $(\text{CH}_2)_n^{123}\text{I}$, ^{18}F , $\text{O}(\text{CH}_2)_n^{18}\text{F}$, ^{11}CN , O^{11}CH_3 , ^{123}I and $\text{O}(\text{CH}_2)_n^{123}\text{I}$, reacting a compound of formula II with a compound of formula III



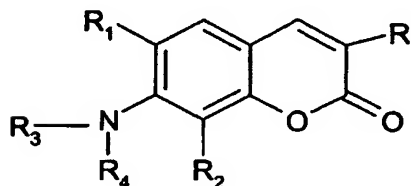
wherein R_3 and R_4 as well as R_5 in R_3 and R_4 ; R_6 and R_7 in R ; and R_8 in X are different from $^{11}\text{CH}_3$, $(\text{CH}_2)_n^{18}\text{F}$, $(\text{CH}_2)_n^{123}\text{I}$, ^{18}F , $\text{O}(\text{CH}_2)_n^{18}\text{F}$, ^{11}CN , O^{11}CH_3 , ^{123}I and $\text{O}(\text{CH}_2)_n^{123}\text{I}$, and Alk is (C_{1-4}) alkyl, or

- b) for the production of a compound of formula I wherein at least one of R_6 and R_7 is O^{11}CH_3 , reacting a compound of formula I wherein at least one of R_6 and R_7 is OH with $^{11}\text{CH}_3$ and a base, or
- c) for the production of a compound of formula I wherein at least one of R_6 and R_7 is $\text{O}(\text{CH}_2)_n^{18}\text{F}$, respectively $\text{O}(\text{CH}_2)_n^{123}\text{I}$, reacting a compound of formula I wherein at least one of R_6 and R_7 is $\text{O}(\text{CH}_2)_n\text{OTs}$ or $\text{O}(\text{CH}_2)_n\text{OMs}$ with $^{18}\text{F}^\ominus$, respectively $^{123}\text{I}^\ominus$, or
- d) for the production of a compound of formula I wherein at least one of R_6 and R_7 is ^{18}F , reacting a compound of formula I wherein at least one of R_6 and R_7 is NO_2 or halogen, with $^{18}\text{F}^\ominus$, or

- e) for the production of a compound of formula I wherein at least one of R_6 and R_7 is ^{123}I , reacting a compound of formula I wherein at least one of R_6 and R_7 is Bu_3Sn , with ^{123}I and hydrogen peroxide, or
- f) for the production of a compound of formula I wherein at least one of R_6 and R_7 is ^{11}CN , reacting a compound of formula I wherein at least one of R_6 and R_7 is OSO_2CF_3 with $[^{11}\text{C}]$ cyanide, or
- g) for the production of a compound of formula I wherein at least one of R_3 , R_4 , R_5 and R_8 is $^{11}\text{CH}_3$, reacting a compound of formula I wherein at least one of R_3 , R_4 , R_5 and R_8 is hydrogen, with $^{11}\text{CH}_3\text{I}$, or
- h) for the production of a compound of formula I wherein at least one of R_3 , R_4 , R_5 and R_8 is $(\text{CH}_2)_n^{18}\text{F}$, respectively $(\text{CH}_2)_n^{123}\text{I}$, reacting a compound of formula I wherein at least one of R_3 , R_4 , R_5 and R_8 is $(\text{CH}_2)_n\text{OTs}$ or $(\text{CH}_2)_n\text{OMs}$ with $^{18}\text{F}^\ominus$, respectively I^\ominus ,

and recovering the resulting compound of formula I in free base form or in form of an acid addition salt.

3. A compound of formula I



wherein

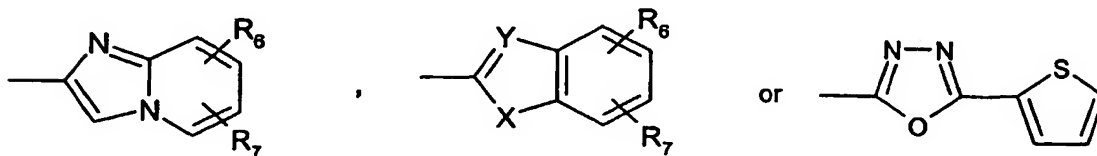
either R_1 and R_2 are both hydrogen and either R_3 and R_4 , independently, are H, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, n being 2, 3 or 4, or R_3 and R_4 , together with the nitrogen atom to which they are attached, form a group of formula



wherein R_5 is H, $(CH_2)_nI$, $(CH_2)_n^{123}I$, $(CH_2)_nOH$, CH_3 , $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n^{18}F$, n being as defined above,

or one of R_1 and R_2 is hydrogen and the other, together with R_3 , forms a $-(CH_2)_m$ -bridge, m being 2 or 3, and R_4 is H, CH_3 , $(CH_2)_nI$, $(CH_2)_n^{123}I$, $(CH_2)_nOH$, $^{11}CH_3$, $(CH_2)_nF$ or $(CH_2)_n^{18}F$, and

R is a group of formula



wherein X is O, S or NR_8 , R_8 being H, CH_3 , $^{11}CH_3$, $(CH_2)_nI$, $(CH_2)_n^{123}I$, $(CH_2)_nOH$, $(CH_2)_nF$ or $(CH_2)_n^{18}F$ (n being as defined above), Y is CH or N and R_6 and R_7 , independently, are H, NO_2 , F, ^{18}F , $O(CH_2)_nF$, $O(CH_2)_n^{18}F$, Cl, CN, ^{11}CN , OCH_3 , $O^{11}CH_3$, I, ^{123}I , $O(CH_2)_nI$ or $O(CH_2)_n^{123}I$ (n being as defined above),

with the exception of

7-Dimethylamino-3-(1-methyl-1H-benzimidazol-2-yl)-chromen-2-one

3-(1H-Benzimidazol-2-yl)-7-dimethylamino-chromen-2-one

3-(6-Chloro-benzothiazol-2-yl)-7-dimethylamino-chromen-2-one

3-Benzothiazol-2-yl-7-dimethylamino-chromen-2-one

3-Benzoxazol-2-yl-7-dimethylamino-chromen-2-one

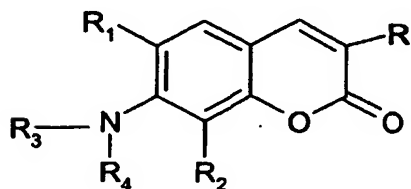
3-Benzoxazol-2-yl-7-methylamino-chromen-2-one

3-(5-Chloro-benzoxazol-2-yl)-7-dimethylamino-chromen-2-one

in free base or acid addition salt form.

4. The compound according to claim 3 which is 3-benzothiazol-2-yl-7-[4-(2-fluoro-ethyl)-piperazin-1-yl]-chromen-2-one, in free base or acid addition salt form.

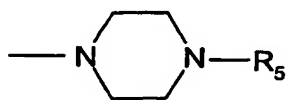
5. A composition for labeling histopathological structures in vitro or in vivo, comprising a compound of formula I



I

wherein

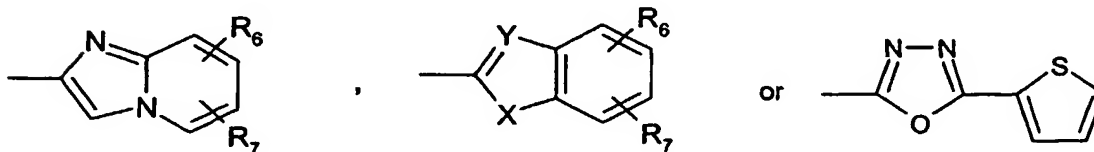
either R_1 and R_2 are both hydrogen and either R_3 and R_4 , independently, are H, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, n being 2, 3 or 4, or R_3 and R_4 , together with the nitrogen atom to which they are attached, form a group of formula



wherein R_5 is H, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, n being as defined above,

or one of R_1 and R_2 is hydrogen and the other, together with R_3 , forms a $-(\text{CH}_2)_m$ -bridge, m being 2 or 3, and R_4 is H, CH_3 , $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, and

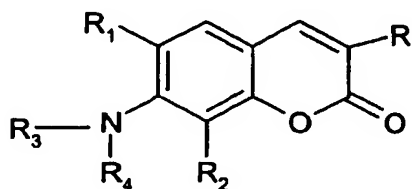
R is a group of formula



wherein X is O, S or NR_8 , R_8 being H, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$ (n being as defined above), Y is CH or N and R_6 and R_7 , independently, are H, NO_2 , F, ^{18}F , $\text{O}(\text{CH}_2)_n\text{F}$, $\text{O}(\text{CH}_2)_n^{18}\text{F}$, Cl, CN, ^{11}CN , OCH_3 , O^{11}CH_3 , I, ^{123}I , $\text{O}(\text{CH}_2)_n\text{I}$ or $\text{O}(\text{CH}_2)_n^{123}\text{I}$ (n being as defined above),

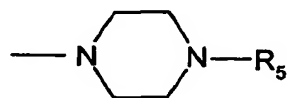
in free base or acid addition salt form.

6. A method for labeling histopathological structures in vitro or in vivo, comprising contacting brain tissue with a compound of formula I



wherein

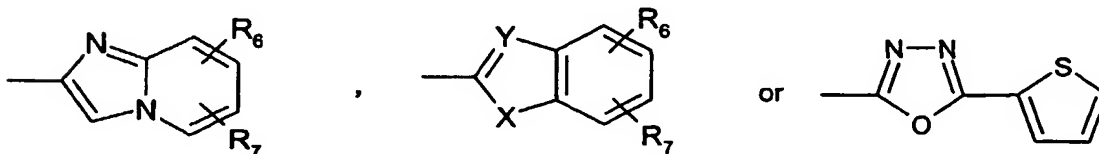
either R_1 and R_2 are both hydrogen and either R_3 and R_4 , independently, are H, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, n being 2, 3 or 4, or R_3 and R_4 , together with the nitrogen atom to which they are attached, form a group of formula



wherein R_5 is H, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, n being as defined above,

or one of R_1 and R_2 is hydrogen and the other, together with R_3 , forms a $-(\text{CH}_2)_m$ -bridge, m being 2 or 3, and R_4 is H, CH_3 , $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$, and

R is a group of formula



wherein X is O, S or NR_8 , R_8 being H, CH_3 , $^{11}\text{CH}_3$, $(\text{CH}_2)_n\text{I}$, $(\text{CH}_2)_n^{123}\text{I}$, $(\text{CH}_2)_n\text{OH}$, $(\text{CH}_2)_n\text{F}$ or $(\text{CH}_2)_n^{18}\text{F}$ (n being as defined above), Y is CH or N and R_6 and R_7 , independently, are H, NO_2 , F, ^{18}F , $\text{O}(\text{CH}_2)_n\text{F}$, $\text{O}(\text{CH}_2)_n^{18}\text{F}$, Cl, CN, ^{11}CN , OCH_3 , O^{11}CH_3 , I, ^{123}I , $\text{O}(\text{CH}_2)_n\text{I}$ or $\text{O}(\text{CH}_2)_n^{123}\text{I}$ (n being as defined above),

in free base or acid addition salt form.

7. A method according to claim 6, for labeling β -amyloid plaques and neurofibrillary tangles.
8. A method according to claim 6 or 7, comprising administering the compound of formula I to a patient.
9. A method according to any of claims 6 to 8, comprising the further step of determining whether the compound of formula I labeled the target structure.
10. A method according to claim 9, comprising observing the target structure labeled with a non-radioactive compound of formula I, using fluorescence microscopy.
11. A method according to claim 9, comprising observing the target structure labeled with a radioactive compound of formula I, using positron emission tomography (PET).
12. A method according to claim 9, comprising observing the target structure labeled with a radioactive compound of formula I, using single photon emission computed tomography (SPECT).
13. A method according to any one of claims 6 to 9, 11 and 12, for diagnosing Alzheimer's disease.
14. A method according to claim 13, for monitoring the effectiveness of a therapeutic treatment of Alzheimer's disease.
15. A method according to any of claims 6, 7, 9 and 10, for detecting histopathological hallmarks of Alzheimer's disease.